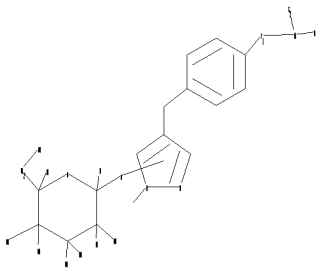
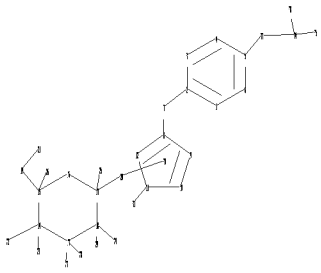
```
     FILE 'REGISTRY' ENTERED AT 12:25:26 ON 02 OCT 2008
L1                STRUCTURE UPLOADED
L2              0 S L1
L3              0 S L1 SSS FULL
L4                STRUCTURE UPLOADED
L5              0 S L4
L6              0 S L4 SSS FULL
L7                STRUCTURE UPLOADED
L8              0 S L7
L9              0 S L7 SSS FULL
L10               STRUCTURE UPLOADED
L11             0 S L10
L12             0 S L10 SSS FULL
L13               STRUCTURE UPLOADED
L14            44 S L13
L15               STRUCTURE UPLOADED
L16            15 S L15
L17           360 S L15 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 12:46:20 ON 02 OCT 2008
L18             4 S L17
```

```
=> file registry
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.21       0.21

FILE 'REGISTRY' ENTERED AT 12:25:26 ON 02 OCT 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:    1 OCT 2008  HIGHEST RN 1056151-32-6
DICTIONARY FILE UPDATES:   1 OCT 2008  HIGHEST RN 1056151-32-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\10525197generic.str
```





chain nodes :
7 19 20 21 22 23 24 25 26 27 28 29 31 33 34 35 38
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 13 14 15 16 17 18
chain bonds :
2-7 5-33 7-8 11-31 13-23 13-28 14-22 14-27 15-19 15-26 17-20 17-25 18-24
18-29 19-21 33-34 34-35 34-38
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-12 9-10 10-11 11-12 13-14 13-18 14-15
15-16 16-17 17-18
exact/norm bonds :
5-33 9-10 10-11 11-12 11-31 13-14 13-18 13-23 13-28 14-15 14-22 14-27
15-16 15-26 16-17 17-18 17-20 18-24 18-29 33-34 34-35 34-38
exact bonds :
2-7 7-8 15-19 17-25 19-21
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-12

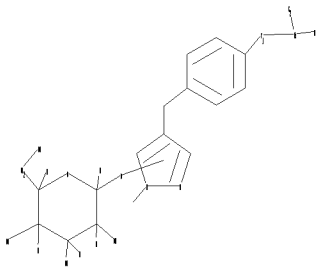
G1:O,S

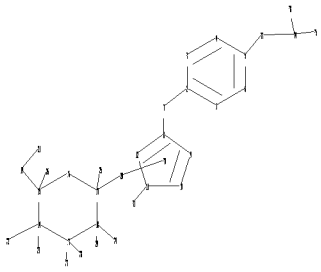
```
G2:OH,H

Connectivity :
34:3 X maximum RC ring/chain
Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:Atom  14:Atom  15:Atom  16:Atom  17:Atom  18:Atom  19:CLASS
20:CLASS  21:CLASS
22:CLASS  23:CLASS  24:CLASS  25:CLASS  26:CLASS  27:CLASS  28:CLASS  29:CLASS
30:Atom  31:CLASS
33:CLASS  34:CLASS  35:CLASS  38:CLASS
Generic attributes :
34:
Number of Carbon Atoms : less than 7




L1      STRUCTURE UPLOADED

=> s l1
SAMPLE SEARCH INITIATED 12:25:59 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        0 TO ITERATE

100.0% PROCESSED        0 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            0 TO        0
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 12:26:07 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -        0 TO ITERATE

100.0% PROCESSED        0 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

L3            0 SEA SSS FUL L1

=> log hold
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   178.36     178.57

 SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 12:26:13 ON 02 OCT 2008

Connecting via Winsock to STN



Welcome to STN International!  Enter x:X

LOGINID:SSPTAEXO1623
```

PASSWORD:
 * * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'REGISTRY' AT 12:27:35 ON 02 OCT 2008
FILE 'REGISTRY' ENTERED AT 12:27:35 ON 02 OCT 2008

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	178.36	178.57

=>
Uploading C:\Program Files\STNEXP\Queries\10525783generic2.str





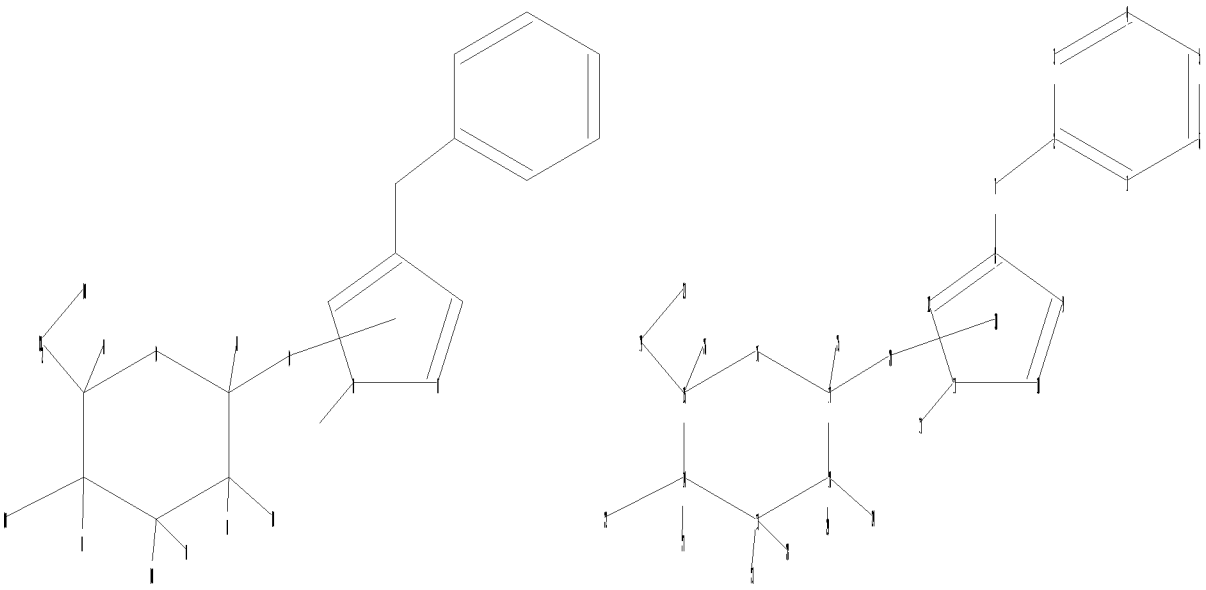
chain nodes :
7 19 20 21 22 23 24 25 26 27 28 29 31 33 34 35 38
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 13 14 15 16 17 18
chain bonds :
2-7 5-33 7-8 11-31 13-23 13-28 14-22 14-27 15-19 15-26 17-20 17-25 18-24
18-29 19-21 33-34 34-35 34-38

```
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  8-9  8-12  9-10  10-11  11-12  13-14  13-18  14-15
15-16  16-17  17-18
exact/norm bonds :
5-33  9-10  10-11  11-12  11-31  13-14  13-18  13-23  14-15  14-22  15-16  16-17
17-18  17-20  18-24  33-34  34-35  34-38
exact bonds :
2-7  7-8  13-28  14-27  15-19  15-26  17-25  18-29  19-21
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  8-9  8-12


G1:O,S

G2:OH,H

Connectivity :
34:3 X maximum RC ring/chain
Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:Atom  14:Atom  15:Atom  16:Atom  17:Atom  18:Atom  19:CLASS
20:CLASS  21:CLASS
22:CLASS  23:CLASS  24:CLASS  25:CLASS  26:CLASS  27:CLASS  28:CLASS  29:CLASS
30:Atom  31:CLASS
33:CLASS  34:CLASS  35:CLASS  38:CLASS
Generic attributes :
34:
Number of Carbon Atoms : less than 7




L4      STRUCTURE UPLOADED

=> s l4
SAMPLE SEARCH INITIATED 12:28:03 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        0 TO ITERATE

100.0% PROCESSED        0 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            0 TO        0
PROJECTED ANSWERS:               0 TO        0

L5            0 SEA SSS SAM L4

=> d l4
L4 HAS NO ANSWERS
L4              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l4 sss full
FULL SEARCH INITIATED 12:28:27 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -        5 TO ITERATE
```

100.0% PROCESSED 5 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L6 0 SEA SSS FUL L4

=>
Uploading C:\Program Files\STNEXP\Queries\10525197generic3.str



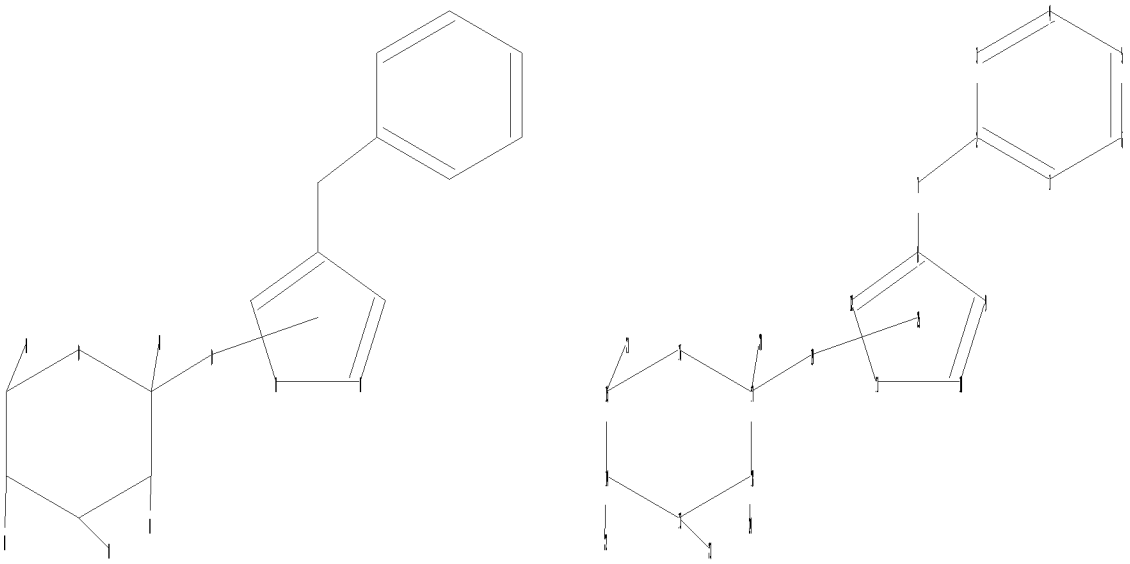
chain nodes :
7 19 20 21 22 23 24 25 26 27 28 29 31
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 13 14 15 16 17 18
chain bonds :
2-7 7-8 11-31 13-23 13-28 14-22 14-27 15-19 15-26 17-20 17-25 18-24
18-29
19-21
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-12 9-10 10-11 11-12 13-14 13-18 14-15
15-16 16-17 17-18
exact/norm bonds :
9-10 10-11 11-12 11-31 13-14 13-18 13-23 14-15 14-22 15-16 16-17 17-18
17-20 18-24
exact bonds :
2-7 7-8 13-28 14-27 15-19 15-26 17-25 18-29 19-21
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-12

G1:O,S

G2:OH,H

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS
20:CLASS 21:CLASS
22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS
30:Atom 31:CLASS

```
L7      STRUCTURE UPLOADED

=> s l7
SAMPLE SEARCH INITIATED 12:29:07 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        0 TO ITERATE

100.0% PROCESSED        0 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            0 TO        0
PROJECTED ANSWERS:               0 TO        0

L8            0 SEA SSS SAM L7

=> s l7 sss full
FULL SEARCH INITIATED 12:29:11 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -       10 TO ITERATE

100.0% PROCESSED       10 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

L9            0 SEA SSS FUL L7

=> log hold
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   535.54     535.75

 SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 12:29:15 ON 02 OCT 2008

Connecting via Winsock to STN



Welcome to STN International!  Enter x:X

LOGINID:SSPTAEXO1623

PASSWORD:
 * * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'REGISTRY' AT 12:31:36 ON 02 OCT 2008
FILE 'REGISTRY' ENTERED AT 12:31:36 ON 02 OCT 2008
COPYRIGHT (C) 2008 American Chemical Society (ACS)

COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   535.54     535.75

=>
Uploading C:\Program Files\STNEXP\Queries\10525197generic4.str
```



chain nodes :
7 19 20 21 22 23 24
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 13 14 15 16 17 18
chain bonds :
2-7 7-8 13-23 14-22 15-21 17-19 17-20 18-24
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-12 9-10 10-11 11-12 13-14 13-18 14-15
15-16 16-17 17-18
exact/norm bonds :
9-10 10-11 11-12 13-14 13-18 14-15 15-16 16-17 17-18 17-19
exact bonds :
2-7 7-8 13-23 14-22 15-21 17-20 18-24
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-12

G1:O,S

G2:OH,H

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS
20:CLASS 21:CLASS
22:CLASS 23:CLASS 24:CLASS 25:Atom

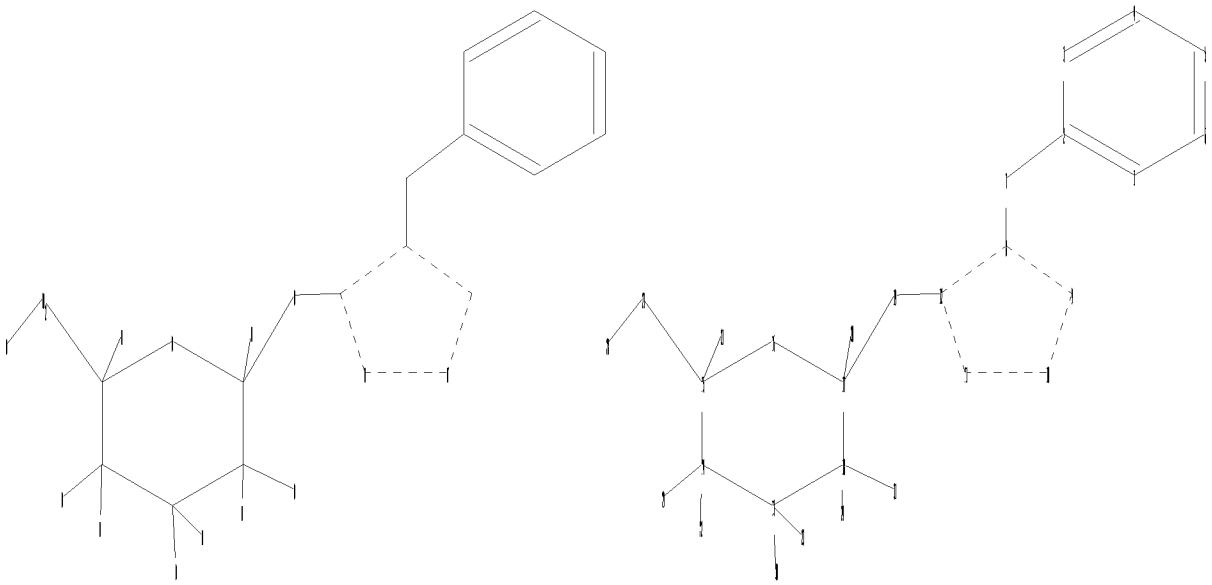
L10 STRUCTURE UPLOADED

=> s l10
SAMPLE SEARCH INITIATED 12:32:03 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 4 TO ITERATE

100.0% PROCESSED 4 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

```
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            4 TO      200
PROJECTED ANSWERS:               0 TO        0

L11           0 SEA SSS SAM L10

=> s l10 sss full
FULL SEARCH INITIATED 12:32:08 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -       30 TO ITERATE

100.0% PROCESSED       30 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

L12           0 SEA SSS FUL L10

=> log hold
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     713.90     714.11

 SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 12:32:10 ON 02 OCT 2008

Connecting via Winsock to STN



Welcome to STN International!  Enter x:X

LOGINID:SSPTAEXO1623

PASSWORD:
 * * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'REGISTRY' AT 12:43:17 ON 02 OCT 2008
FILE 'REGISTRY' ENTERED AT 12:43:17 ON 02 OCT 2008
COPYRIGHT (C) 2008 American Chemical Society (ACS)

COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     713.90     714.11

=>
Uploading C:\Program Files\STNEXP\Queries\10525197generic5.str
```



chain nodes :
7 19 20 21 22 23 24 27 28 29 30 31
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 13 14 15 16 17 18
chain bonds :
2-7 7-8 12-19 13-23 13-30 14-22 14-29 15-21 15-27 17-19 17-20 18-24
18-31
27-28
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-12 9-10 10-11 11-12 13-14 13-18 14-15
15-16 16-17 17-18
exact/norm bonds :
8-9 8-12 9-10 10-11 11-12 12-19 13-14 13-18 13-30 14-15 14-29 15-16
16-17
17-18 17-19 18-31
exact bonds :
2-7 7-8 13-23 14-22 15-21 15-27 17-20 18-24 27-28
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

G1:O,S

G2:OH,H

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS
20:CLASS 21:CLASS
22:CLASS 23:CLASS 24:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS

L13 STRUCTURE UPLOADED

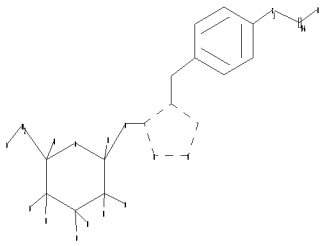
=> s l13
SAMPLE SEARCH INITIATED 12:43:45 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 60 TO ITERATE

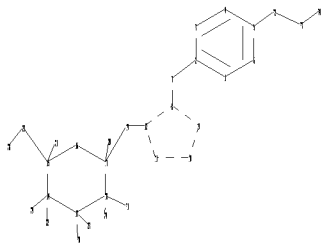
100.0% PROCESSED 60 ITERATIONS 44 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 736 TO 1664
PROJECTED ANSWERS: 483 TO 1277

L14 44 SEA SSS SAM L13

=>
=>
Uploading C:\Program Files\STNEXP\Queries\10525197generic6.str





chain nodes :
7 19 20 21 22 23 24 27 28 29 30 31 32 33 34
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 13 14 15 16 17 18
chain bonds :
2-7 5-32 7-8 12-19 13-23 13-30 14-22 14-29 15-21 15-27 17-19 17-20 18-24
18-31 27-28 32-33 33-34

ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-12 9-10 10-11 11-12 13-14 13-18 14-15
15-16 16-17 17-18
exact/norm bonds :
5-32 8-9 8-12 9-10 10-11 11-12 12-19 13-14 13-18 13-30 14-15 14-29 15-16
16-17 17-18 17-19 18-31 32-33 33-34
exact bonds :
2-7 7-8 13-23 14-22 15-21 15-27 17-20 18-24 27-28
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

G1:O,S,C

G2:OH,H

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS
20:CLASS 21:CLASS
22:CLASS 23:CLASS 24:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS
32:CLASS 33:CLASS
34:CLASS

L15 STRUCTURE UPLOADED

=> s l15
SAMPLE SEARCH INITIATED 12:45:25 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 28 TO ITERATE

100.0% PROCESSED 28 ITERATIONS 15 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 243 TO 877
PROJECTED ANSWERS: 68 TO 532

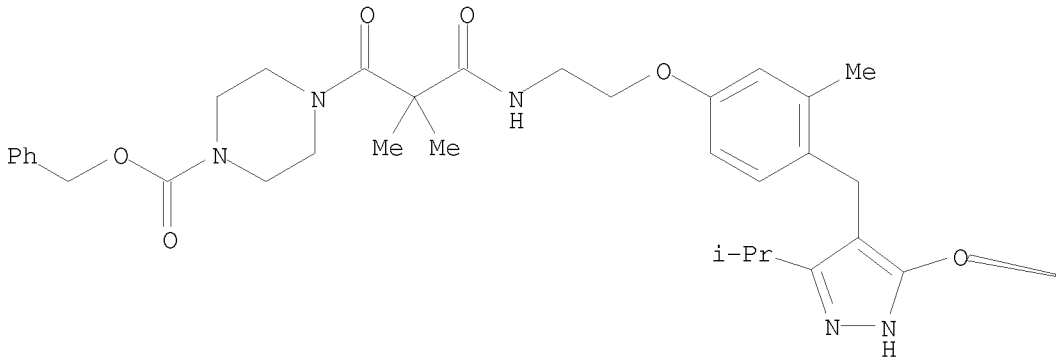
L16 15 SEA SSS SAM L15

=> d l16 scan

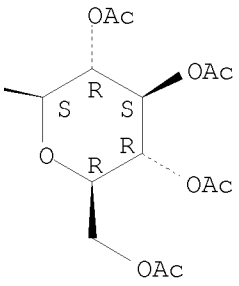
L16 15 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN 1-Piperazinecarboxylic acid, 4-[2,2-dimethyl-3-[[2-[3-methyl-4-[[3-(1-methylethyl)-5-[(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)oxy]-1H-pyrazol-4-yl]methyl]phenoxy]ethyl]amino]-1,3-dioxopropyl]-, phenylmethyl ester
MF C47 H61 N5 O15

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



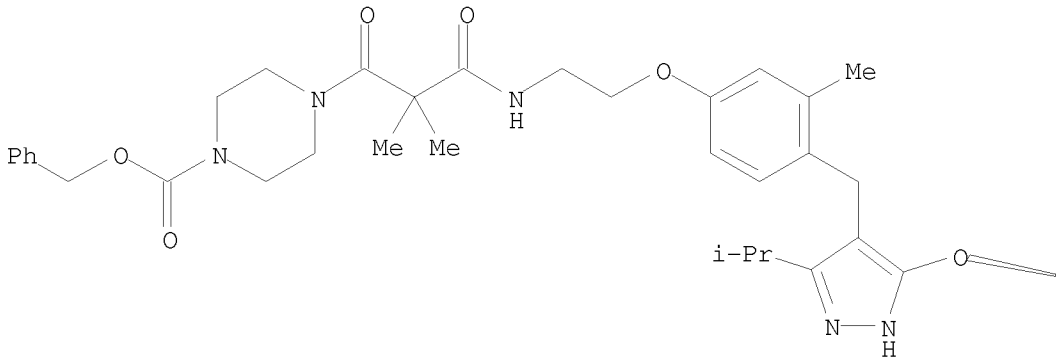
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):4

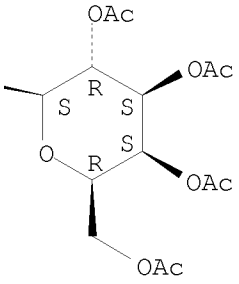
L16 15 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN 1-Piperazinecarboxylic acid, 4-[2,2-dimethyl-3-[[2-[3-methyl-4-[[3-(1-methylethyl)-5-[(2,3,4,6-tetra-O-acetyl-β-D-galactopyranosyl)oxy]-1H-pyrazol-4-yl]methyl]phenoxy]ethyl]amino]-1,3-dioxopropyl]-, phenylmethyl ester
MF C47 H61 N5 O15

Absolute stereochemistry.

PAGE 1-A



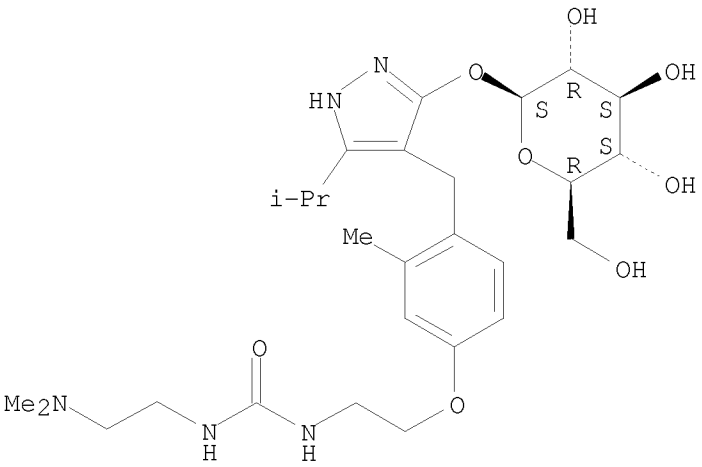
PAGE 1-B



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L16 15 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Urea, N-[2-(dimethylamino)ethyl]-N'-[2-[4-[[3-(β-D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methylphenoxy]ethyl]- (9CI)
MF C27 H43 N5 O8

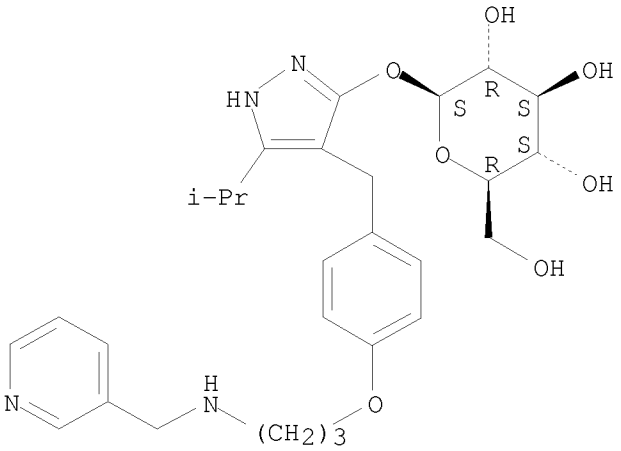
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L16 15 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN β-D-Glucopyranoside, 5-(1-methylethyl)-4-[[4-[3-[(3-pyridinylmethyl)amino]propoxy]phenyl]methyl]-1H-pyrazol-3-yl
MF C28 H38 N4 O7

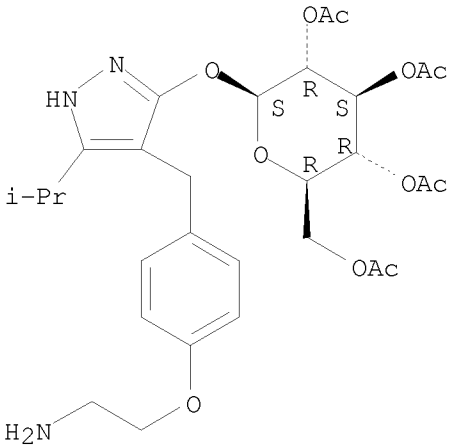
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L16 15 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN β-D-Glucopyranoside, 4-[[4-(2-aminoethoxy)phenyl]methyl]-5-(1-methylethyl)-1H-pyrazol-3-yl, 2,3,4,6-tetraacetate
MF C29 H39 N3 O11

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s l15 sss full
FULL SEARCH INITIATED 12:46:17 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 667 TO ITERATE

100.0% PROCESSED 667 ITERATIONS 360 ANSWERS
SEARCH TIME: 00.00.01

L17 360 SEA SSS FUL L15

=> file hcaplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	894.10	894.31

FILE 'HCAPLUS' ENTERED AT 12:46:20 ON 02 OCT 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 2 Oct 2008 VOL 149 ISS 14
FILE LAST UPDATED: 1 Oct 2008 (20081001/ED)

HCAplus now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2008.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l17
L18 4 L17

=> d l18 1-4 ti abs bib

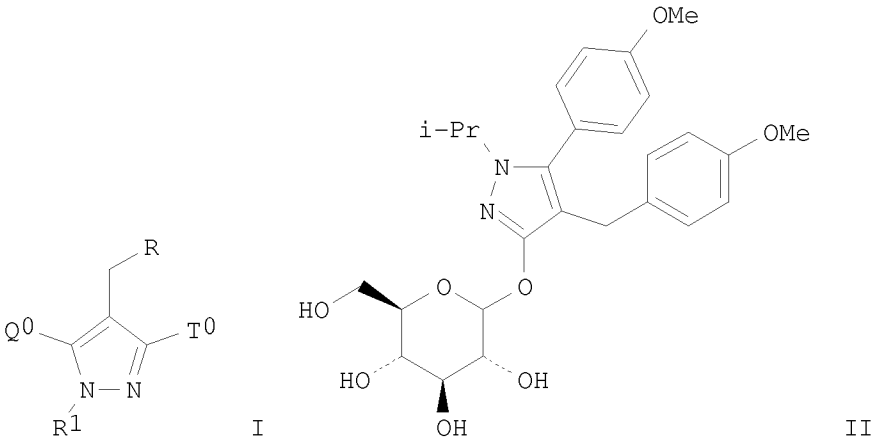
L18 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Preventive or remedy for diseases caused by hyperglycemia
AB It is intended to provide a medicinal composition containing as the active ingredient a selective SGLT1 inhibitor (for example, an SGLT1 inhibitor substantially showing no GLUT2 and/or GLUT5 inhibitory effect) which exerts a sugar absorption inhibitory effect over a wide range, also has a hypoglycemic effect caused by fructose intake in usual diet and thus can show an outstanding hypoglycemic effect and which is appropriate as a preventive or a remedy for diseases caused by hyperglycemia (for example, diabetes, impaired glucose tolerance, diabetic complications or obesity).
AN 2004:486406 HCAPLUS <<LOGINID::20081002>>
DN 141:47334
TI Preventive or remedy for diseases caused by hyperglycemia
IN Ito, Fumiaki; Shibazaki, Toshihide; Tomae, Masaki; Fushimi, Nobuhiko; Isaji, Masayuki
PA Kissei Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004050122	A1	20040617	WO 2003-JP15503	20031204
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2507665	A1	20040617	CA 2003-2507665	20031204
	AU 2003289156	A1	20040623	AU 2003-289156	20031204
	EP 1568380	A1	20050831	EP 2003-777222	20031204
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	CN 1744916	A	20060308	CN 2003-80109504	20031204
	US 20060035844	A1	20060216	US 2005-537495	20050603
	IN 2005DN02385	A	20070105	IN 2005-DN2385	20050603
PRAI	JP 2002-352201	A	20021204		
	WO 2003-JP15503	W	20031204		

RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Preparation of pyrazolyl glycoside derivatives as inhibitors of 1,5-anhydroglucitol/fructose/mannose transporters

GI



AB The title compds. [I; R = each (un)substituted C3-8 cycloalkyl, C6-10 aryl, C2-9 heterocycloalkyl, or C1-9 heteroaryl; R1 = H, each (un)substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-8 cycloalkyl, C6-10 aryl, C2-9 heterocycloalkyl, or C1-9 heteroaryl; one of Q0 and T0 = α- or β-D-glucopyranosyloxy or -mannopyranosyloxy or β-D-deoxyglucopyranosyloxy- and the other = (CH2)nAr; wherein Ar = each (un)substituted C6-10 aryl or C1-9 heteroaryl; n = an integer of 0-2] or pharmacol. acceptable salts or prodrugs thereof are prepared Also disclosed are medicinal composition containing the compound I, medicinal use thereof, and intermediates in producing the same. These compds. exerts an excellent effect of inhibiting human 1,5-anhydroglucitol/fructose/mannose transporters and inhibit reabsorption or cellular uptake of glucose, fructose, and mannose in kidney or absorption of these saccharide small intestine and inhibit the increase in blood sugar. Therefore, they are useful as preventives, progress inhibitors or remedies for a disease caused by the over intake of at least one saccharide selected from among glucose, fructose, and mannose or a disease caused by hyperglycemia (diabetic complication, diabetes, or diabetic nephropathy). Thus, glycosidation of 1-isopropyl-5-(4-methoxyphenyl)-4-[(4-methoxyphenyl)methyl]-1,2-dihydro-3H-pyrazol-3-one by acetobromo-α-D-glucose in the presence of benzyltributylammonium bromide in a mixture of CH2Cl2 and 5 N aqueous NaOH at room temperature for 1.5 h followed by treatment of the product with NaOMe in MeOH gave 3-(β-D-glucopyranosyloxy)-1-isopropyl-5-(4-methoxyphenyl)-4-[(4-methoxyphenyl)methyl]-1H-pyrazole (II). II in vitro inhibited the uptake of [14C]methyl α-D-glucopyranoside in COS-7 cells transfected with human SMINT/PME18S-FL expression plasmid with IC50 of 92 nM.

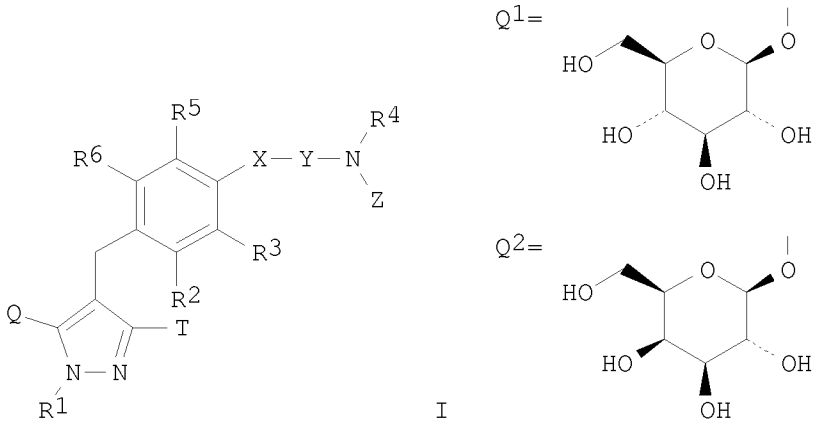
AN 2004:311011 HCAPLUS <<LOGINID::20081002>>
DN 140:321649
TI Preparation of pyrazolyl glycoside derivatives as inhibitors of 1,5-anhydroglucitol/fructose/mannose transporters
IN Fujikura, Hideki; Kikuchi, Norihiko; Tazawa, Shigeki; Yamato, Tokuhisa; Isaji, Masayuki
PA Kissei Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DT Patent

LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004031203	A1	20040415	WO 2003-JP12477	20030930
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2500873	A1	20040415	CA 2003-2500873	20030930
	AU 2003272903	A1	20040423	AU 2003-272903	20030930
	EP 1550668	A1	20050706	EP 2003-753967	20030930
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	US 20060128635	A1	20060615	US 2005-529895	20050919
PRAI	JP 2002-293090	A	20021004		
	JP 2002-330694	A	20021114		
	JP 2002-378959	A	20021227		
	WO 2003-JP12477	W	20030930		

OS MARPAT 140:321649
RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Preparation of 4-benzylpyrazolyl glucopyranosides and galactopyranoside derivatives as sodium-glucose cotransporter (SGLT1) inhibitors, medicinal composition containing the same, medicinal use thereof, and intermediate for production thereof
GI



I

AB Pyrazole derivs. represented by the general formula (I) [R1 = H, C1-6 alkyl, C2-6 alkenyl, hydroxy-C2-6 alkyl, C3-7 cycloalkyl, C3-7 cycloalkyl-C1-6 alkyl, each (un)substituted aryl or aryl-C1-6 alkyl; one of Q and T = Q1 or Q2 and the other = C1-6 alkyl, halo-C1-5 alkyl, C1-6

alkoxy-C1-6 alkyl, C3-7 cycloalkyl; R2 = H,halo, OH, C1-6 alkyl, C1-6 alkoxy,C1-6 alkylthio, halo-C1-6 alkyl, halo-C1-6 alkoxy, C1-6 alkoxy-C1-6 alkoxy, C3-7 cycloalkyl-C2-6 alkoxy, etc.; X = a single bond, O, S; Y = optionally hydroxy-substituted C1-6 alkylene or C2-6 alkenylene; Z = RB, CORC, SO2RC, CO(RD)RE, SO2NHRF, C(:NRG)N(RH)RI; wherein RC = each (un)substituted aryl, heteroaryl, or C1-6 alkyl; R4, RB, RD, RE, RF = H, each (un)substituted aryl, heteroaryl, or C1-6 alkyl; NR4RB or NRDRE together forms (un)substituted C2-6 cyclic amino; RG, RH, RI = H, (un)substituted C1-6 alkyl, etc.; R3, R5, R6 = H, halo, C1-6 alkyl, C1-6 alkoxy] or pharmacol. acceptable salts thereof are prepared These compds. have excellent human SGLT1 inhibitory activity and are useful as preventives or therapeutic agents for diseases attributable to hyperglycemia such as diabetes, impaired glucose tolerance, fasting blood sugar abnormality, complications of diabetes, obesity, hyperinsulinemia, hyperlipidemia, hypercholesteremia, hypertriglyceridemia, lipid metabolism disorder, atherosclerosis, hypertension, ischemic heart failure, edema, hyperuricemia, and gout and for diseases attributable to an increased blood galactose level such as galactosemia. For example, 3-(β-D-glucopyranosyloxy)-4-[[4-[3-[3-(2-hydroxy-1,1-dimethylethyl)ureido]propoxy]-2-methylphenyl]methyl]-5-isopropyl-1H-pyrazole in vitro inhibited the uptake of [14C]methyl α-D-glucopyranoside in CHO-K1 cells expressing human SGLT1 with IC50 of 19 nM. For another example, 3-(β-D-glucopyranosyloxy)-4-[[4-(2-guanidinoethoxy)-2-methylphenyl]methyl]-5-isopropyl-1H-pyrazole at 1 mg/kg p.o. lowered the serum glucose concentration from 303±63 (control) to 165±17 mg/dL after 1 h in rats with streptozotocin-induced diabetes.

AN 2004:182896 HCAPLUS <<LOGINID::20081002>>

DN 140:236000

TI Preparation of 4-benzylpyrazolyl glucopyranosides and galactopyranoside derivatives as sodium-glucose cotransporter (SGLT1) inhibitors, medicinal composition containing the same, medicinal use thereof, and intermediate for production thereof

IN Fushimi, Nobuhiko; Shimizu, Kazuo; Yonekubo, Shigeru; Teranishi, Hirotaka; Tomae, Masaki; Isaji, Masayuki

PA Kissei Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 270 pp.
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004018491	A1	20040304	WO 2003-JP10551	20030821
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	JP 2004137245	A	20040513	JP 2002-324076	20021107
	CA 2496329	A1	20040304	CA 2003-2496329	20030821
	AU 2003262263	A1	20040311	AU 2003-262263	20030821
	EP 1548024	A1	20050629	EP 2003-792760	20030821
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003013694	A	20050705	BR 2003-13694	20030821
	CN 1688597	A	20051026	CN 2003-824499	20030821

	ZA 2005001549	A	20060726	ZA 2005-1549	20030821
	NZ 538423	A	20070223	NZ 2003-538423	20030821
	US 20050272669	A1	20051208	US 2005-525197	20050222
	MX 2005PA02129	A	20050603	MX 2005-PA2129	20050223
	NO 2005001411	A	20050426	NO 2005-1411	20050317
	IN 2007DN07100	A	20071012	IN 2007-DN7100	20070913
PRAI	JP 2002-244381	A	20020823		
	JP 2002-324076	A	20021107		
	WO 2003-JP10551	W	20030821		
	IN 2005-DN666	A3	20050221		

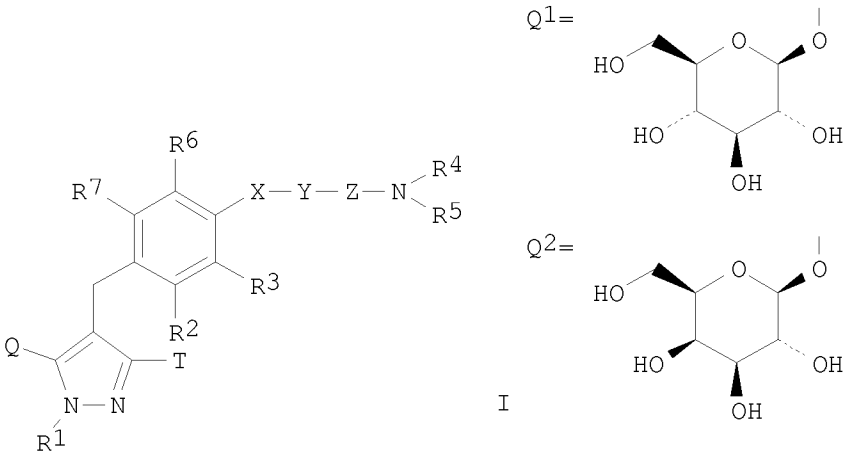
OS MARPAT 140:236000

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Preparation of pyrazolyl glucopyranoside and galactopyranoside derivatives inhibitors of human sodium-glucose cotransporter 1 (SGLT1), medicinal composition containing the same, medicinal use thereof, and intermediate for production thereof

GI



I

AB Pyrazoles derivs. represented by the general formula (I) [R1 = H, C1-5 alkyl, C2-5 alkenyl, hydroxy-C2-5 alkyl, C3-7 cycloalkyl, C3-7 cycloalkyl-C1-6 alkyl (un)substituted aryl or aryl-C1-6 alkyl; one of Q and T = Q1, Q2 and the other = C1-5 alkyl, halo-C1-6 alkyl, C1-6 alkoxy-C1-6 alkyl, C3-7 cycloalkyl; R2 = H,halo, OH, C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, halo-C1-6 alkyl, halo-C1-6 alkoxy, C1-6 alkoxy-C1-6 alkoxy, C3-7 cycloalkyl-C2-6 alkoxy, etc.; X = a single bond, O, S; Y = a single bond, C1-6 alkylene, C2-6 alkenylene; Z = CO, SO2; R4, R5 = H, (un)substituted C1-6 alkyl; or NR4R5 together forms an (un)substituted C2-6 cyclic amino; R3, R6, R7 = H, halo, C1-6 alkyl, C1-6 alkoxy] or pharmacol. acceptable salts thereof or prodrug of either are prepared These compds. have excellent human SGLT1 inhibitory activity and are useful as preventives or therapeutic agents for (1) diseases attributable to hyperglycemia such as diabetes, impaired glucose tolerance, complications of diabetes, obesity, hyperinsulinemia, hyperlipidemia, hypercholesteremia, hypertriglycemia, lipid metabolism

disorder, atherosclerosis, hypertension, ischemic heart failure, edema, hyperuricemia, or gout and (2) diseases attributable to high level of galactose, galactosemia. For example, 3-(β-D-glucopyranosyloxy)-4-[[4-[3-[2-hydroxy-1,1-bis(hydroxymethyl)ethylcarbamoyl]propyl]phenyl]methyl]-5-isopropyl-1H-pyrazole at 1 mg/kg p.o. lowered blood glucose in diabetic rats from 297±35 to 178±19 mg/dL in 1 h.

AN 2004:143172 HCAPLUS <<LOGINID::20081002>>

DN 140:199632

TI Preparation of pyrazolyl glucopyranoside and galactopyranoside derivatives inhibitors of human sodium-glucose cotransporter 1 (SGLT1), medicinal composition containing the same, medicinal use thereof, and intermediate for production thereof

IN Teranishi, Hirotaka; Fushimi, Nobuhiko; Yonekubo, Shigeru; Shimizu, Kazuo; Shibazaki, Toshihide; Isaji, Masayuki

PA Kissei Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 215 pp.
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004014932	A1	20040219	WO 2003-JP10048	20030807
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2494179	A1	20040219	CA 2003-2494179	20030807
	AU 2003254847	A1	20040225	AU 2003-254847	20030807
	EP 1544208	A1	20050622	EP 2003-784564	20030807
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003013290	A	20050705	BR 2003-13290	20030807
	NZ 538117	A	20070126	NZ 2003-538117	20030807
	US 20060166899	A1	20060727	US 2005-523820	20050204
	US 7375087	B2	20080520		
	MX 2005PA01549	A	20050505	MX 2005-PA1549	20050208
	NO 2005001209	A	20050415	NO 2005-1209	20050308
	HK 1082743	A1	20080502	HK 2006-102572	20060227
PRAI	JP 2002-232074	A	20020808		
	JP 2002-321729	A	20021105		
	WO 2003-JP10048	W	20030807		

OS MARPAT 140:199632

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT